

PATENT
Attorney Docket No. 29610/CDT498

IN THE UNITED STATES PATENT AND TRADEMARK OFFICE

Applicants: Carl Towns et al.) For: Polymers, Their Preparation
) and Uses
Serial No. 10/578,895)) Group Art Unit: 1786
Filed: November 10, 2004 (Int'l.)) Examiner: Brett Alan Crouse
Appl. No. PCT/GB2004/004754))) Confirmation No. 3448

DECLARATION UNDER 37 C.F.R. § 1.132 OF ANDREW B. HOLMES, Ph.D.

Commissioner for Patents
P.O. Box 1450
Alexandria, VA 22313-1450

Sir:

I, Andrew B. Holmes, Ph.D., hereby declare as follows:

1. I am a co-inventor of the subject matter claimed in the above-identified patent application (hereafter, "the patent application"). I am familiar with the contents of the patent application.
2. I am a Laureate Professor of Chemistry at the University of Melbourne. I am also an Emeritus Professor at Imperial College London and a Commonwealth Scientific and Industrial Research Organisation (CSIRO) Fellow.
3. I earned B.Sc. and M.Sc. degrees from the University of Melbourne. I was awarded a Ph.D. in 1971 from University College London where my research related to heteroannulenes. After a postdoctoral appointment at E.T.H.-Zurich where I worked on the final stages of the synthesis of vitamin B12, I was appointed to an assistant lectureship at University of Cambridge in 1972. I was awarded tenure and appointed to a lectureship at University of Cambridge in 1977. I became Director of

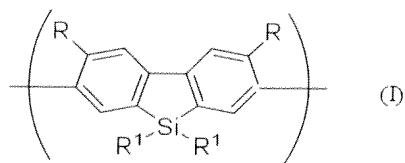
the Melville Laboratory for Polymer Synthesis at University of Cambridge in 1994 and was promoted to a personal Readership in 1995 and to a personal Professorship in 1998. In September 2004, I was appointed Professor of Organic and Polymer Chemistry at Imperial College London, and in October 2004, I was also appointed Australian Research Council (ARC) Federation Fellow and inaugural Victorian Endowment for Science Knowledge Innovation (VESKI) Fellow at the Bio21 Molecular Science and Biotechnology Institute of the University of Melbourne and at the Commonwealth Scientific and Industrial Research Organisation (CSIRO) Division of Materials Science and Engineering. I was elected a Fellow of the Royal Society in 2000, a Fellow of the Australian Academy of Science and a Fellow of the Australian Academy of Technological Sciences and Engineering in 2006.

4. I was Chairman of the Editorial Board of Chemical Communications (2000-2003), have served as a Principal Editor of the Journal of Materials Research (1994-2000) and as a member of the Board of Editors of Organic Syntheses, Inc. (1997-2001), and am currently Associate Editor of Organic Letters (2005-).

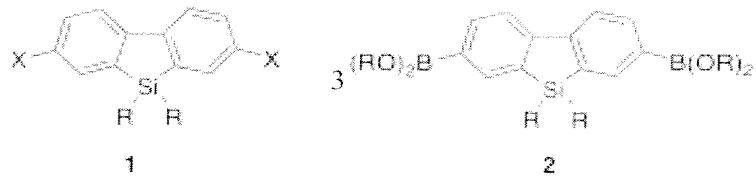
5. My research interests span a range of natural and non-natural synthetic targets. In the natural products area, I have concentrated on biologically active piperidine and indolizidine alkaloids, marine cyclic ethers, and medium ring unsaturated lactams, and the potential application of these materials to alkaloid synthesis and novel peptidomimetics. A recent interest has been the use of phosphoinositides to probe downstream intracellular signaling processes, where the use of phosphatidyl inositol polyphosphate affinity matrices has revealed many new proteins involved in intracellular signaling pathways. My interest in conjugated polymers resulted from an interdisciplinary collaboration with the Cavendish Laboratory at University of Cambridge, which led to the discovery of the first polymeric light emitting diodes and the subsequent interest in organic and polymeric materials for optoelectronic applications.

6. I make this Declaration to provide observations and scientific opinion about the synthetic methods disclosed in U.S. Patent Publication No. 2003/0168656 to Kobayashi et al. (hereafter, "Kobayashi") and U.S. Patent Publication No. 2004/0062930 to Roberts et al. (hereafter, "Roberts").

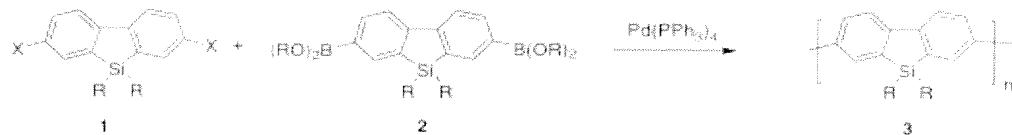
7. I have reviewed Kobayashi and Roberts, and it is my scientific opinion that neither document enables the synthesis of a polymer comprising a dibenzosilole repeat unit, said dibenzosilole repeat unit including a hydrogen or an electron withdrawing group at the 3- and 6- positions, as recited by formula (I; R = H or electron withdrawing group) of claim 1 in the patent application (illustrated below):



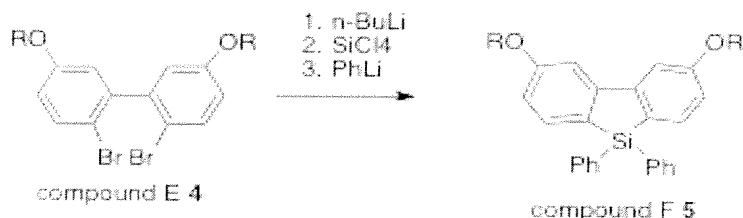
8. Kobayashi generally refers to the synthesis of polydibenzosiloles. One skilled in the art of the controlled synthesis of poly(arylene) conjugated polymers would look to modern methods of organometallic cross coupling for the linkage of aromatic rings to construct a conjugated polymer. The most common method available is the Suzuki polycondensation between a dihalo-substituted aromatic monomer (**1**) and an aryl bisboronate ester (**2**). Other methods involve the Yamamoto homopolymerization of a dibromo-substituted aromatic derivative and the Stille cross coupling of an aryl distannane derivative with a dibromo-substituted aromatic species. In all three methods the dihalo-substituted aromatic monomer (**1**), which can in turn also serve as the precursor of a bisboronate ester or distannane, is required. Therefore, an enabling approach to polydibenzosilole synthesis necessarily includes the capacity to prepare molecules such as (**1**) and (**2**), shown below, as suitable components:



9. To make a polydibenzosilole (**3**), the corresponding Suzuki reaction would be carried out as shown below:



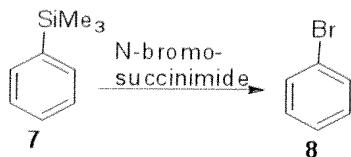
10. The synthesis of dibenzosilole precursors typically requires a transmetallation of a suitable dihalo- precursor, followed by coupling with either SiCl_4 and by displacement of the remaining chlorine atoms with PhLi (as disclosed by Kobayashi), or coupling with a dialkyldihalosilane reagent. Such a reaction is illustrated in Kobayashi (pp. 39-40, Synthetic Example 9) for the conversion of compound E (**4**) into compound F (**5**) and a general illustration of this synthesis reaction is shown below:



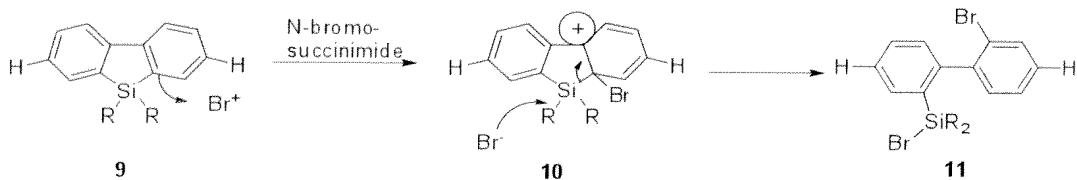
11. The only worked examples reported in Kobayashi for converting compound F (**5**) into a dihalo-substituted dibenzosilole molecule include the subsequent halogenation of compound F (**5**) to produce compounds G (**6**) and H (pp.40-41, Synthetic Example 10) as illustrated by the general synthesis reaction below.



12. The approach illustrated in Kobayashi would fail in the absence of highly electron donating alkoxy (RO-) substituents owing to the very strong electron releasing effect of the bridging Si substituent which in the absence of any competing strong electron donating substituent would lead to halo-desilylation (ipso substitution by electrophilic halogen reagent). The process of halo-desilylation (ipso substitution) is well known to organic chemists skilled in the art as illustrated for the example of the conversion of (7) into (8).



13. Therefore, any attempt to halogenate an unsubstituted dibenzosilole (or one containing any substituent that was less electron donating than RO-) would result in halo-desilylation as illustrated below for the conversion of (**9**) via (**10**) into (**11**).



14. Thus, Kobayashi requires highly electron donating substituents (alkoxy substituents) at the 3- and 6-positions to enable leaving groups to be provided at the adjacent 2- and 7- positions. Consequently, Kobayashi is not enabling for a polymer comprising a dibenzosilole repeat unit having H or an electron withdrawing substituent at the 3- and 6- positions, as recited by formula (I) of claim 1 in the patent application.

15. Roberts only enables preparation of carbon bridged biphenyl derivatives such as fluorenone and dihydrophenanthrene derivatives.

16. For example, Reaction Schemes VIII (p. 31) and X (p. 33) of Roberts teach acid promoted ring closures of biphenyl derivatives to fluorenone derivatives by a process involving intramolecular electrophilic attack of an acyl cation on the adjacent benzene ring (i.e., an intramolecular Friedel Crafts acylation process). Such a reaction is generally illustrated below:



17. Similarly, Reaction Schemes IX (p.32), XI (p.34), and XII (p.35) of Roberts teach preparation of carbon bridged materials in which the atoms forming the carbon bridge are already pre-attached to the aromatic ring. Such a reaction is generally illustrated below:



18. Thus, Roberts only teaches preparation of carbon bridged materials and provides no teachings regarding the synthesis of a polymer comprising a dibenzosilole repeat unit, much less a polymer comprising a dibenzosilole repeat unit having H or an electron withdrawing substituent at the 3- and 6- positions, as recited by formula (I) of claim 1 in the patent application. There is no disclosure in Roberts regarding how Si atoms are introduced into the dibenzosiloles shown as compounds XXXIV and LIV. Consequently, Roberts is not enabling for a polymer comprising a

dibenzosilole repeat unit having H or an electron withdrawing substituent at the 3- and 6- positions, as recited by formula (I) of claim 1 in the patent application.

19. I hereby declare that all statements made herein of my own knowledge are true and that all statements made on information and belief are believed to be true; and further that these statements were made with the knowledge that willful false statements and the like so made are punishable by fine or imprisonment, or both, under 18 U.S.C. §1001 and that such willful false statements may jeopardize the validity of the above-referenced patent application and any patent issued therefrom.

Date: April 8th, 2011

By

Andrew B. Holmes
Andrew B. Holmes, Ph. D.